## **EAST Search History**

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
Ll	29	enantioselective nucleophilic addition reaction	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/08/28 13:36
L2	1005	hydroxy diketone	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/08/28 14:26
L3	63	568/412	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/08/28 14:26
L4	0	L1 and L3	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/08/28 14:26
SI	29	enantioselective nucleophilic addition reaction	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/08/28 09:59
S2	1028	enamide	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/08/28 09:59
S3	1096	glyoxylic acid ester	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/08/28 10:00
S4	9	S2 and S3	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/08/28 10:23
S5	1	S1 and S4	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/08/28 10:00
S6	5441	chiral catalyst	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/08/28 10:01
S7	3	S4 and S6	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/08/28 10:01
S8	697510	copper	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/08/28 10:01

8/28/2007 2:26:44 PM Page 1

# EAST Search History

S9	1205	S6 and S8	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/08/28 10:01
S10	5	S1 and S9	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/08/28 10:02
S11	738	alpha hydroxy gamma lactones	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/08/28 10:02
S12	1	S4 and S11	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/08/28 10:03
S13	1005	hydroxy diketone	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/08/28 10:03
S14	0	S4 and S13	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/08/28 10:03
S15	1	S4 and S1	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/08/28 10:04
S16		S8 and S4	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/08/28 10:08
S17	103633	carbonyl group	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/08/28 10:09
S18	334	S2 and S17	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/08/28 10:09
S19	51	S18 and S6	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/08/28 10:09
S20	2	"6403818"	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/08/28 11:23

8/28/2007 2:26:44 PM Page 2

of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 09:48:14 ON 28 AUG 2007

=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 09:48:25 ON 28 AUG 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 27 AUG 2007 HIGHEST RN 945649-99-0 DICTIONARY FILE UPDATES: 27 AUG 2007 HIGHEST RN 945649-99-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

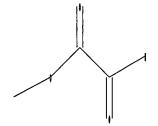
http://www.cas.org/support/stngen/stndoc/properties.html

=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END): end

=>

Uploading C:\Program Files\Stnexp\Queries\10587078a.str



chain nodes :

1 2 3 4 5 6 7

chain bonds :

1-2 1-7 2-3 2-6 3-4 3-5

exact/norm bonds: 1-2 1-7 2-6 3-5 exact bonds:

2-3 3-4

Match level :

### L1 STRUCTURE UPLOADED

=> que L1

L2 QUE L1

=> d L1

L1 HAS NO ANSWERS

L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=>

Uploading C:\Program Files\Stnexp\Queries\10587078b.str

chain nodes :

1 2 3 4 5 6 7 8 9 10

chain bonds :

1-2 2-3 2-4 3-5 3-6 6-7 6-8 7-9 7-10

exact/norm bonds :

2-3 2-4 3-6

exact bonds :

1-2 3-5 6-7 6-8 7-9 7-10

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

L3 STRUCTURE UPLOADED

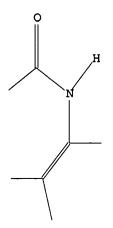
=> que L3

L4 QUE L3

=> d L3

L3 HAS NO ANSWERS

L3 STR



Structure attributes must be viewed using STN Express query preparation.

=> s L1 and L3 full

FULL SEARCH INITIATED 09:49:32 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 2357 TO ITERATE

100.0% PROCESSED 2357 ITERATIONS 0 ANSWERS

96 ANSWERS

532 ANSWERS

SEARCH TIME: 00.00.01

L5 0 SEA SSS FUL L1 AND L3

=> s L1 full

FULL SEARCH INITIATED 09:49:48 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1272590 TO ITERATE

78.6% PROCESSED 1000000 ITERATIONS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.07

FULL FILE PROJECTIONS: ONLINE \*\*INCOMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 1272590 TO 1272590

PROJECTED ANSWERS: 96 TO 155

L6 96 SEA SSS FUL L1

=> s L3 full

FULL SEARCH INITIATED 09:50:02 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 21045 TO ITERATE

100.0% PROCESSED 21045 ITERATIONS

SEARCH TIME: 00.00.01

L7 532 SEA SSS FUL L3

=> s L6 and L7

L8 0 L6 AND L7

=> file caplus

COST IN U.S. DOLLARS SINCE FILE

FULL ESTIMATED COST ENTRY SESSION 516.30 516.51

FILE 'CAPLUS' ENTERED AT 09:50:26 ON 28 AUG 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 28 Aug 2007 VOL 147 ISS 10 FILE LAST UPDATED: 27 Aug 2007 (20070827/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s L6

L9 88 L6

=> s L7

L10 286 L7

=> s L9 and L10

L11 0 L9 AND L10

=> s reaction

3075427 REACTION

2214584 REACTIONS

L12 4122479 REACTION

(REACTION OR REACTIONS)

=> s L9 and L12

L13 65 L9 AND L12

=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

TOTAL

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 10:48:40 ON 28 AUG 2007

=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 10:48:58 ON 28 AUG 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 27 AUG 2007 HIGHEST RN 945649-99-0 DICTIONARY FILE UPDATES: 27 AUG 2007 HIGHEST RN 945649-99-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

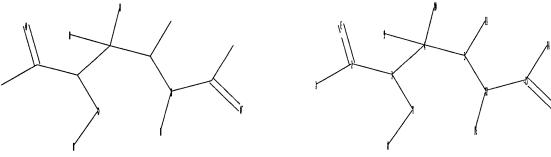
=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END): end

=> screen 1006

L1 SCREEN CREATED

Uploading C:\Program Files\Stnexp\Queries\10587078.str



chain nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16

chain bonds :

1-2 2-3 2-6 3-4 3-7 4-5 4-9 4-10 5-11 5-12 7-8 12-13 12-16 13-14

13-15

exact/norm bonds :

2-6 3-7 5-12 12-13 13-15

exact bonds :

1-2 2-3 3-4 4-5 4-9 4-10 5-11 7-8 12-16 13-14

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom

L2 STRUCTURE UPLOADED

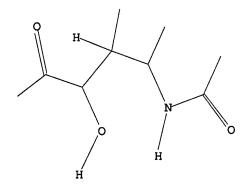
=> que L2 AND L1

L3 QUE L2 AND L1

=> d L2

L2 HAS NO ANSWERS

L2 STR



Structure attributes must be viewed using STN Express query preparation.

=> s L2 full

FULL SEARCH INITIATED 10:49:23 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 23212 TO ITERATE

100.0% PROCESSED 23212 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L4 0 SEA SSS FUL L2

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST

172.55 172.76

FILE 'CAPLUS' ENTERED AT 10:49:53 ON 28 AUG 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 28 Aug 2007 VOL 147 ISS 10 FILE LAST UPDATED: 27 Aug 2007 (20070827/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s L4 L5 0 L4 => s glyoxylic acid ester 7152 GLYOXYLIC 4426861 ACID 1588786 ACIDS 4928784 ACID (ACID OR ACIDS) 605403 ESTER 444892 ESTERS 839979 ESTER (ESTER OR ESTERS) L6 118 GLYOXYLIC ACID ESTER (GLYOXYLIC (W) ACID (W) ESTER) => s enamide 1070 ENAMIDE 762 ENAMIDES 1367 ENAMIDE L7 (ENAMIDE OR ENAMIDES) => s L6 and L7 L8 0 L6 AND L7 => s enantioselective nucleophilic addition reaction 25201 ENANTIOSELECTIVE 16 ENANTIOSELECTIVES 25209 ENANTIOSELECTIVE (ENANTIOSELECTIVE OR ENANTIOSELECTIVES) 55012 NUCLEOPHILIC 13 NUCLEOPHILICS 55017 NUCLEOPHILIC (NUCLEOPHILIC OR NUCLEOPHILICS) 173159 ADDITION 15833 ADDITIONS 186183 ADDITION (ADDITION OR ADDITIONS) 1613054 ADDN 72595 ADDNS 1658753 ADDN (ADDN OR ADDNS) 1759269 ADDITION

(ADDITION OR ADDN)

3075427 REACTION 2214584 REACTIONS

L9

2 ENANTIOSELECTIVE NUCLEOPHILIC ADDITION REACTION
(ENANTIOSELECTIVE (W) NUCLEOPHILIC (W) ADDITION (W) REACTION)

=> d L9 1-2 bib abs

L9 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:696867 CAPLUS

DN 143:194235

TI Method of enantio-selective nucleophilic addition reaction for conversion of enamide to imine and method of synthesizing  $\alpha$ -amino- $\gamma$ -keto acid ester

IN Kobayashi, Shu

PA Japan Science and Technology Agency, Japan

SO PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PAN.CNI I			WIND DAME			ADDITORMINI NO					D 3 000							
	PATENT NO.			KIND DATE			APPLICATION NO.					DATE						
PI	WO :	2005	0708	76		A1 20050804			WO 2005-JP1282						20050124			
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
			ΤJ,	TM,	TN,	TR,	TT,	TZ,	UΑ,	ŪĠ,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZM,	ZW,	AM,
			ΑZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
			EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,
			RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,
			MR,	ΝE,	SN,	TD,	TG											
	EP :	17075	559			A1		2006	1004	]	EP 2	005-	7042	79		2	0050	124
		R:	DE,	FR,	GB													
	US :	2007	1618	04		Al		2007	0712	1	US 2	006-	5870	75		2	0060	929
PRAI	JP :	2004	-164	07		Α		2004	0123									
	WO :	2005	-JP1	282		W		2005	0124									
os	MAR	PAT :	143:	1942	35													
GI																		

- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- An asym. synthesis of amino acid compound such as  $\alpha$ -amino- $\gamma$ -keto AB acid ester and  $\alpha, \gamma$ -diamino acid esters and conversion of the latter into  $\gamma$ -lactams are described. The amino acid compound is useful as a starting material or synthetic intermediate for production of medicinal products, agrochems., perfumes, functional polymers, etc. There is provided a method of enantio-selective nucleophilic addition reaction of enamide of formula R5R6C:C(R4)NHCOR3 [R3 = (un)substituted hydrocarbyl optionally having a substituent bonded through O; R4 = (un) substituted hydrocarbyl; R5, R6 = H, (un)substituted hydrocarbyl; at least one of R5 and R6 is H] to imine compound of formula R1O2CCH: NR2 [R1 = (un) substituted hydrocarbyl; R2 = RCO, RO2C; wherein R = (un)substituted hydrocarbyl] in the presence of a chiral copper catalyst to give optically active  $\alpha$ -amino- $\gamma$ -imino acid ester (I) or (II) (R1-R6 = same as above) with formation of chiral amino group. I and II are further converted into  $\alpha$ -amino- $\gamma$ -keto acid ester (III) or (IV) by acid hydrolysis or into  $\alpha, \gamma$ -diamino acid ester (V) or (VI) by reduction Removing the acyl group of  $\gamma$ -amino group from the  $\alpha, \gamma$ -diamino acid ester V or VI followed by cyclization gives  $\gamma$ -lactams (VII) or

(VIII). Thus, 7.2 mg Cu(OTf)2 was dried at 100° for 2 h followed by adding 10.8 mg (1R,2R)-1,2-diphenyl-1,2-bis(1-naphthylamino)ethane under Ar and hen 1.5 mL CH2Cl2 and the light blue solution was stirred for  $\geq 2$  h and cooled at 0°. To the solution were added a solution of 0.30 mmol enamide (IX) in 0.8 mL CH2Cl2 and then slowly a solution of 0.20 mmol EtO2CCH:NCOC11H23 in 2.0 mL for 30 min. The resulting reaction was stirred at 0° for 15 min and quenched by adding saturated aqueous NaHCO3 to give 77%  $\alpha$ -amino- $\gamma$ -imino acid (X) in a syn/anti ratio of 86/14 with 94% ee (syn). RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ADDITION NO

האתב

שתעענו

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN L9

VIND

- AN 2005:696857 CAPLUS
- DN 143:193722
- Process for the preparation of optically active  $\alpha$ -hydroxy- $\gamma$ -TI keto acid ester and hydroxydiketone via enantioselective nucleophilic addition of enamide
- Kobayashi, Shu IN
- Japan Science and Technology Agency, Japan PA
- PCT Int. Appl., 46 pp. SO

CODEN: PIXXD2

- DΤ Patent
- LA Japanese

FAN.CNT 1

	PATENT NO.		KIND DATE			APPLICATION NO.						DATE						
ΡI	PI WO 2005070864			A1	A1 20050804			WO 2005-JP1281						20050124				
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
			NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
			ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	ŪG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw
		RW:	BW,	GH,	GM,	KΕ,	LS,	MW,	MZ,	NΑ,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZM,	ZW,	AM,
			ΑZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
			EE,	ES,	FI,	FR,	GB,	GR,	ΗU,	ΙE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,
			RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,
			MR,	ΝE,	SN,	TD,	TG											
	ΕP	1707	556			A1		2006	1004		EP 2	005-	7042	78		20	0050	124
		R:	DE,	FR,	GB													
		2007						2007	0329	1	US 2	006-	5870	78		20	0061	020
PRAI	JP	2004	-164	80		Α		2004	0123									
	JP	2004	-249	251		Α		2004	0827									
	WO	2005	-JP1:	281		W		2005	0124									
AB A process of enantic			osel	ecti	ve n	ucle	ophi	lic										

addition reaction to carbonyl, which enables asym. synthesis of optically active  $\alpha$ -hydroxy- $\gamma$ -keto acid esters, optically active  $\alpha$ -hydroxy- $\gamma$ -amino acid esters, hydroxydiketone compds., etc. was provided. In this method, the nucleophilic addition reaction of enamide compound accompanied by hydroxyl (-OH) formation to carbonyl was carried out in the presence of a chiral catalyst with copper or nickel. For example, a mixture of (1R, 2R) -N, N'-bis[(4-bromophenyl)methylene]-1,2-cyclohexanediamine (9.9 mg),  $CuClO4 \cdot 4CH3CN$  (6.5 mg) in CH2Cl2 (1.5 mL) was stirred for 8 h. The resulting mixture was then treated with Et glyoxalate (100  $\mu$ L, 0.40 mmol)/CH2Cl2 (0.8 mL) and (1-phenylethenyl)carbamic acid phenylmethyl ester (0.20 mmol)/CH2Cl2 (0.8 mL) at 0 °C for 1 h. Aqueous work-up followed by subsequent reaction with 48% HBr (0.3 mL) for 1.5 min. and silica-gel purification afforded (2S)-2-hydroxy-4-oxo-4-phenylbutyric acid Et ester in 97% ee, 93% yield.

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 4 ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
=> s optically active hydroxy diketone
        102592 OPTICALLY
        996015 ACTIVE
          1247 ACTIVES
        996737 ACTIVE
                 (ACTIVE OR ACTIVES)
        459502 HYDROXY
            11 HYDROXIES
        459513 HYDROXY
                 (HYDROXY OR HYDROXIES)
         13591 DIKETONE
         14373 DIKETONES
         21800 DIKETONE
                 (DIKETONE OR DIKETONES)
L10
             O OPTICALLY ACTIVE HYDROXY DIKETONE
                 (OPTICALLY (W) ACTIVE (W) HYDROXY (W) DIKETONE)
=> s alpha hydroxy gamma lactones
       1706748 ALPHA
          2487 ALPHAS
       1706856 ALPHA
                 (ALPHA OR ALPHAS)
        459502 HYDROXY
            11 HYDROXIES
        459513 HYDROXY
                 (HYDROXY OR HYDROXIES)
        860107 GAMMA
          4918 GAMMAS
        860288 GAMMA
                 (GAMMA OR GAMMAS)
         28024 LACTONES
Lll
            16 ALPHA HYDROXY GAMMA LACTONES
                 (ALPHA (W) HYDROXY (W) GAMMA (W) LACTONES)
=> d L11 1-16 bib abs
L11 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN
     2001:601645 CAPLUS
AN
     135:331301
DN
     Innovation of hydrocarbon oxidation with molecular oxygen and related
TT
     Ishii, Yasutaka; Sakaguchi, Satoshi; Iwahama, Takahiro
ΑU
CS
     Department of Applied Chemistry, Faculty of Engineering and High
     Technology Research Center, Kansai University, Suita, Osaka, 564-8680,
     Advanced Synthesis & Catalysis (2001), 343(5), 393-427
SO
     CODEN: ASCAF7: ISSN: 1615-4150
     Wiley-VCH Verlag GmbH
PB
DT
     Journal; General Review
LΑ
     English
     A review with refs. An innovation of the aerobic oxidation of hydrocarbons
AB
     through catalytic carbon radical generation under mild conditions was
     achieved by using N-hydroxyphthalimide (NHPI) as a key compound Alkanes
     were successfully oxidized with O or air to valuable oxygen-containing compds.
     such as alcs., ketones, and dicarboxylic acids by the combined catalytic
     system of NHPI and a transition metal such as Co or Mn. The
     NHPI-catalyzed oxidation of alkylbenzenes with dioxygen could be performed
     even under normal temperature and pressure of dioxygen. Xylenes and
     methylpyridines were also converted into phthalic acids and
     pyridinecarboxylic acids, resp., ill good yields. The present oxidation
     method was extended to the selective transformations of alcs. to carbonyl
     compds. and of alkynes to ynones. The epoxidn. of alkenes using
     hydroperoxides or H2O2 generated in situ from hydrocarbons or alcs. and O2
```

under the influence of the NHPI was demonstrated and seems to be a useful strategy for industrial applications. The NHPI method is applicable to a

wide variety of organic syntheses via carbon radical intermediates. catalytic carboxylation of alkanes was accomplished by the use of CO and O2 in the presence of NHPI. In addition, the reactions of alkanes with NO, and SO2 catalyzed by NHPI provided efficient methods for the synthesis of nitroalkanes and sulfonic acids, resp. A catalytic carbon-carbon bond forming reaction was achieved by allowing carbon radicals generated in situ from alkanes or alcs. to react with alkenes under mild conditions. A table of contents in this review includes: (1) Introduction: (2) Discovery of NHPI as Carbon Radical Producing Catalyst from Alkanes: (2.1) Historical Background: (2.2) Catalysis of NHPI in Aerobic Oxidation: (3) NHPI-Catalyzed Aerobic Oxidation: (3.1) Oxidation of Benzylic Compds.: (3.2) Alkane Oxidns. with Mol. Oxygen: (3.3) Oxidation of Alkylbenzenes: (3.4) Practical Oxidation of Methylpyridines: (3.5) Preparation of Acetylenic Ketones via Alkyne Oxidation: (3.6) Oxidation of Alcs.: (3.7) Selective Oxidation of Sulfides to Sulfoxides: (3.8) Production of Hydrogen Peroxide by Aerobic Oxidation of Alcs.: (3.9) Epoxidn. of Alkenes using Mol. Oxygen as Terminal Oxidant: (4) Carboxylation of Alkanes with CO and O2: (5) Utilization of NOx in Organic Synthesis: (5.1) First Catalytic Nitration of Alkanes using NO2: (5.2) Reaction of NO2 with Organic Compds.: (6) Sulfoxidn. of Alkanes Catalyzed by Vanadium: (7) Carbon-Carbon Bond Forming Reaction via Catalytic Carbon Radicals Generated from Various Organic Compds. Assisted by NHPI: (7.1) Oxyalkylation of Alkenes with Alkanes and Dioxygen: (7.2) Synthesis of  $\alpha$  -Hydroxy- $\gamma$  -

lactones by Addition of  $\alpha\textsc{-Hydroxy}$  Carbon Radicals to Unsatd.

Esters: (7.3) Hydroxyacylation of Alkenes using 1,3-Dioxolanes and Dioxygen: (8) Conclusions.

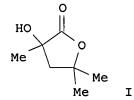
RE.CNT 394 THERE ARE 394 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L11 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2001:111600 CAPLUS
- DN 134:147111
- TI Development of catalytic carbon radical generation and its application to organic synthesis
- AU Ishii, Yasutaka
- CS Dep. Appl. Chem., Fac. Eng. High Technol. Res. Cent., Kansai Univ., 3-3-35, Yamate-cho, Suita, Osaka, 564-8640, Japan
- SO Yuki Gosei Kagaku Kyokaishi (2001), 59(1), 2-10 CODEN: YGKKAE; ISSN: 0037-9980
- PB Yuki Gosei Kagaku Kyokai
- DT Journal; General Review
- LA Japanese
- A review with 36 refs. A novel strategy for organic synthesis via radical AB intermediates was developed by using N-hydroxyphthalimide (NHPI) as the key catalyst. C radicals were successfully generated from various hydrocarbons under the influence of NHPI combined with Co(II) salt and dioxygen, and the C radicals thus formed were applied to a variety of synthetic reactions. Firstly, in the reaction with alkanes, O-containing compds. such as alcs., ketones, and carboxylic acids were produced through the formation of alkyl radicals in good yields. Secondary, the treatment of alkenes with hydroperoxides, which are derived from the reaction of alkyl radicals thus generated with dioxygen, afforded epoxides in good yields. In contrast, the trapping of alkyl radicals prepared by the present method by NO2 and SO2 under mild conditions provided an efficient method for the synthesis of nitroalkanes and sulfonic acids, resp. Finally, the generation of  $\alpha$ -hydroxycarbon radicals from alcs. was also successful, and the synthesis of  $\alpha$  -hydroxy-. gamma.-lactones, which so far had been difficult to
  - gamma.-lactones, which so far had been difficult to prepare by the conventional methods, was achieved in high yields by allowing them to react with acrylates.
- L11 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2000:199563 CAPLUS
- DN 133:43394
- TI Catalytic  $\alpha$ -hydroxy carbon radical generation and addition.

Synthesis of  $\alpha$  -hydroxy- $\gamma$  - lactones from alcohols,  $\alpha,\beta\text{-unsaturated}$  esters and dioxygen

- AU Iwahama, Takahiro; Sakaguchi, Satoshi; Ishii, Yasutaka
- CS Dep. Appl. Chem., Fac. Eng. & High Technol. Res. Cent., Kansai University, Suita, Osaka, 564-8680, Japan
- SO Chemical Communications (Cambridge) (2000), (7), 613-614 CODEN: CHCOFS; ISSN: 1359-7345
- PB Royal Society of Chemistry
- DT Journal
- LA English
- OS CASREACT 133:43394

GI



AB A catalytic method for  $\alpha$ -hydroxy carbon radical generation from alcs. has been developed and a convenient and synthetically useful approach to  $\alpha$  -hydroxy- $\gamma$  - lactones constructed. E.g., reaction of Me2CHOH and Me methacrylate in presence of N-hydroxyphthalimide, Co(OAc)2, Co(acac)3, and O2 gave hydroxy lactone I.

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L11 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2000:108837 CAPLUS
- DN 132:251037
- TI Mn(OAc)3-promoted hydroxylation of  $\alpha$ -carbomethoxy- $\gamma$ -lactones by molecular oxygen
- AU Lamarque, Laurent; Meou, Alain; Brun, Pierre
- CS Laboratoire de Synthese Organique Selective, associe au CNRS, GCOPL, ESA 6114, Universite de la Mediterranee, Marseille, F-13288, Fr.
- SO Canadian Journal of Chemistry (2000), 78(1), 128-132 CODEN: CJCHAG; ISSN: 0008-4042
- PB National Research Council of Canada
- DT Journal
- LA English
- OS CASREACT 132:251037
- AB The Mn(OAc)3-mediated hydroxylation of a series of  $\alpha$ -carbomethoxy-  $\gamma$ -lactones by mol. oxygen is described. The reaction is regio- and stereoselective and gives  $\alpha$ -carbomethoxy-  $\alpha$  hydroxy- $\gamma$ -lactones.
- RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L11 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 1995:58255 CAPLUS
- DN 122:55854
- TI Aqueous hetero Diels-Alder reactions: the carbonyl case
- AU Lubineau, A.; Auge, J.; Grand, E.; Lubin, N.
- CS Lab. Chimie Organique Multifonctionnelle, Inst. Chimie Mol. Orsay, Orsay, 91405, Fr.
- SO Tetrahedron (1994), 50(34), 10265-76 CODEN: TETRAB; ISSN: 0040-4020
- DT Journal

```
LA
     English
     CASREACT 122:55854
OS
     Com. available aqueous solution of glyoxylic acid, pyruvaldehyde and glyoxal
AΒ
were
     shown to react with cyclic or non-cyclic dienes to give the corresponding
     cycloadducts and/or \alpha -hydroxy \gamma -
     lactones. Activated ketone (Pyruvic acid) was shown to react as
     well in the same conditions.
L11
     ANSWER 6 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN
     1993:233793 CAPLUS
AN
DN
     118:233793
     Preparation of \alpha -hydroxy-\gamma -
     lactones and their application in the synthesis of
     \alpha,\beta\text{-butenolides},\ \alpha\text{-alkylidene-}\gamma\text{-lactones} and furans
ΑU
     Munoz, A. Heber; Tamariz, Joaquin; Jiminez, Rogelio; Garcia de la Mora,
     Gustavo
     Dep. Quim. Org., Esc. Nac. Cienc. Biol., Mexico City, 11340, Mex.
CS
     Journal of Chemical Research, Synopses (1993), (2), 68-9
so
     CODEN: JRPSDC; ISSN: 0308-2342
DT
     Journal
LA
     English
     CASREACT 118:233793
OS
GI
```

A straightforward synthesis of  $\alpha$ -hydroxy- $\gamma$ -butyrolactones, AΒ e.g. I (R = ME, Ph), was carried out by condensation reaction of the lithium anion of ethoxyethyl-protected cyanohydrins with epoxides, followed by acidic treatment. Synthetic applications of these synthons in the preparation of interesting  $\alpha, \beta$ -butenolides,  $\alpha$ -alkylideneγ-lactones and furans are described.

AN 1993:169505 CAPLUS DN 118:169505 Ring contraction reactions of 2-0-methanesulfonates of  $\alpha$ TI hydroxy- $\gamma$  -lactones in aqueous medium to oxetane-2-carboxylic acids: a convenient synthesis of 3'-O-methyloxetanocin and a formal synthesis of oxetanocin Saksena, Anil K.; Ganguly, Ashit K.; Girijavallabhan, Viyyoor M.; Pike, ΑU Russell E.; Chen, Yao Tsung; Puar, Mohindar S. CS Schering-Plough Res. Inst., Bloomfield, NJ, 07003, USA

ANSWER 7 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN

SO Tetrahedron Letters (1992), 33(50), 7721-4 CODEN: TELEAY; ISSN: 0040-4039 DT Journal

LΑ English os

CASREACT 118:169505

GI

$$R^{1} = \left\{ -CO_{2} - N \right\}$$

$$R^{2} = \left\{ -S \right\}$$

$$PhCH_{2}OCH_{2}$$

$$PhCH_{2}OCH_{2}$$

$$MeOCH_{2}$$

$$I$$

$$MeOCH_{2}$$

$$I$$

$$O$$

$$CO_{2}H$$

AB Barton decarboxylative rearrangement of oxetanethiohydroxamic ester I (R = R1) directly provided the key oxetanosyl-thiopyridyl glycosides I (R = R2) which were successfully coupled to N-benzoyladenine to give after deblocking 3'-O-methyloxetanocin. A two-step ring contraction of the toxylate II to the oxetane-2-carboxamide I (R = CONHCH2Ph), is described. A ring expansion reaction of  $\alpha$ -I (R = CO2H) to furancarboxylic acid III, was also observed

L11 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1992:128538 CAPLUS

DN 116:128538

TI Hetero Diels-Alder reaction in water. Synthesis of  $\alpha$  -hydroxy-  $\!\gamma$  -lactones

III

AU Lubineau, Andre; Auge, Jacques; Lubin, Nadege

CS Inst. Chim. Mol. Orsay, Univ. Paris-Sud, Orsay, F-91405, Fr.

SO Tetrahedron Letters (1991), 32(51), 7529-30

CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA English

OS CASREACT 116:128538

GI

AB The hetero Diels-Alder reaction of cyclopentadiene or cyclohexadiene with aqueous solution of glyoxylic acid produces  $\alpha$  -hydroxy-. gamma.-lactones I (n = 1, 2) arising from the rearrangement of the cycloadducts.

L11 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1991:122913 CAPLUS

DN 114:122913

- TI Ring contraction of 3-deoxy-2-O-trifluoromethanesulfonates of . alpha.-hydroxy- $\gamma$  -lactones to oxetanes
- AU Witty, D. R.; Fleet, G. W. J.; Choi, S.; Vogt, K.; Wilson, F. X.; Wang, Y.; Storer, R.; Myers, P. L.; Wallis, C. J.
- CS Dyson Perrins Lab., Oxford Univ., Oxford, OX1 3QY, UK
- SO Tetrahedron Letters (1990), 31(47), 6927-30 CODEN: TELEAY; ISSN: 0040-4039
- DT Journal
- LA English
- OS CASREACT 114:122913
- AB  $\alpha$ -Triflates of 3-deoxy-1,4-lactones bearing H or alkyl substituents in the 3-position undergo ring contraction to Me oxetane-2-carboxylates on treatment with K2CO3-MeOH.
- L11 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 1991:24353 CAPLUS
- DN 114:24353
- TI Ring contraction of 2-O-trifluoromethanesulfonates of  $\alpha$  -hydroxy- $\gamma$  -lactones to oxetane carboxylic
- AU Witty, D. R.; Fleet, G. W. J.; Vogt, K.; Wilson, F. X.; Wang, Y.; Storer, R.; Myers, P. L.; Wallis, C. J.
- CS Dyson Perrins Lab., Oxford Univ., Oxford, OX1 3QY, UK
- SO Tetrahedron Letters (1990), 31(33), 4787-90 CODEN: TELEAY; ISSN: 0040-4039
- DT Journal
- LA English
- OS CASREACT 114:24353

GI

- AB 2-O-Trifluoromethanesulfonate esters of the four diastereomeric 3,5-di-O-benzyl-pentono-1,4-lactones I gave, on treatment with k2CO3 in MeOH efficient ring contraction to Me oxetane-2-carboxylic esters II. The stereochem. at C-2 of the resulting oxetanes is determined largely by the configuration at C-3, rather than C-2, of the lactone.
- L11 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 1990:35579 CAPLUS
- DN 112:35579
- TI A convenient synthesis of  $\gamma$ -alkyl-  $\alpha$  -hydroxy  $-\gamma$  -lactones as a food intake-control substance
- AU Nakano, Taichi; Ino, Yurika; Nagai, Yoichiro
- CS Dep. Chem., Gunma Univ., Kiryu, 376, Japan
- SO Chemistry Letters (1989), (4), 567-8 CODEN: CMLTAG; ISSN: 0366-7022
- DT Journal
- LA English
- OS CASREACT 112:35579

GI

$$\mathbb{R}^2$$

AB A series of new  $\gamma$ -alkyl-  $\alpha$  -hydroxy-. gamma.-lactones which are promising as excellent food intake-control substances was synthesized in high yields by the hydrolysis of  $\gamma$ -alkyl- $\alpha$ -chloro- $\gamma$ -lactones. Thus, treatment of I [R = Cl, R1 = H, R2 = Bu, CH2CHMe2, (CH2)nMe, n = 4-7, 9, 11; R1 = Me, R2 = Bu, (CH2)6Me] with aqueous K2CO3 followed by aqueous HCl, gave 91-100% I (R = OH).

ANSWER 12 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN Lll

AN 1989:173017 CAPLUS

DN 110:173017

TI New diastereoselective synthesis of novel chiral  $\gamma$ -(aminoalkyl)-. alpha.-hydroxy  $\gamma$  -lactones and their application for the synthesis of renin inhibitors

Metternich, Rainer; Luedi, Werner ΑU

Preclin. Res., SANDOZ Ltd., Basle, CH-4002, Switz. Tetrahedron Letters (1988), 29(32), 3923-6 CS

SO

CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LΑ English

OS CASREACT 110:173017

GI

Aldol cyclization of MeCOCO2Me with protected L-cyclohexylalaninal I gave AB a 90:10 mixture of hydroxybutenolides II and III, resp. Stereoselective hydrogenation of II gave title compound IV, which was subsequently used to prepare renin inhibitors.

ANSWER 13 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN L11

1988:423276 CAPLUS ΑN

109:23276 DN

Chiral oxetanes from sugar lactones: synthesis of derivatives of TI 3,5-anhydro-1,2-0-isopropylidene- $\alpha$ -D-glucuronic acid and of 3,5-anhydro-1,2-0-isopropylidene-β-L-iduronic acid

```
AU Austin, G. N.; Fleet, G. W. J.; Peach, J. M.; Prout, K.; Son, Jong Chan
```

CS Dyson Perrins Lab., Oxford Univ., Oxford, OX1 3QY, UK

SO Tetrahedron Letters (1987), 28(40), 4741-4

CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA English

OS CASREACT 109:23276

GI

AB Ring contraction reactions of triflates of  $\alpha$  - hydroxy- $\gamma$  -lactones provide an approach to the synthesis of chiral polyfunctionalized oxetanes from sugars. Treatment of 1,2-O-isopropylidene-5-O-trifluoromethanesulfonyl- $\alpha$ -D-glucuronolactone (I) with benzylamine or with K2CO3 in MeOH gave ring contraction reactions to form oxetanes, e.g., II, in good yield.

L11 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1987:459384 CAPLUS

DN 107:59384

TI [1-13C]Aldono-1,4-lactones: conformational studies based on proton-proton, proton-carbon-13, and carbon-13-carbon-13 spin couplings and ab initio molecular orbital calculations

AU Angelotti, Timothy; Krisko, Michael; O'Connor, Thomas; Serianni, Anthony

CS Dep. Chem., Univ. Notre Dame, Notre Dame, IN, 46556, USA

SO Journal of the American Chemical Society (1987), 109(15), 4464-72 CODEN: JACSAT; ISSN: 0002-7863

DT Journal

LA English

OS CASREACT 107:59384

GI

AB Several aldono-1,4-lactones, e.g., I, were prepared with [13C]enrichment (99 atom %) at the carbonyl carbon. 1H (300 and 600 MHz) and 13C (75 MHz) NMR spectra in 2H2O were assigned, the latter with the aid of 2D 13C 1H chemical shift correlation spectroscopy. 1H-11H, 13C-1H, and 13C-13C couplings were used to evaluate lactone ring conformations. In general,

aldono-1,4-lactones in aqueous solution prefer conformations in which O2 is oriented quasi-equatorial. On the basis of ab initio STO-3G MO calcns. of two representative lactones, it appears that this preference is not due to stabilization conferred by intramol. hydrogen bonding, as generally believed, but to stereoelectronic factors found in  $\alpha$  - hydroxy- $\gamma$ -lactones. Theor. calcns. also revealed a notable effect of lone-pair oxygen orbitals on C-H bond lengths, namely, that C-H bonds are longest when antiperiplanar to a lone-pair orbital. This dependence may be responsible, in part, for the observed 1H chemical shift patterns for these mols. A model is proposed to rationalize the dependence of dual-pathway 13C-13C couplings on lactone ring configuration.

L11 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1983:505068 CAPLUS

DN 99:105068

TI Novel synthesis of  $\alpha$  -hydroxy- $\gamma$  -

lactones

AU Garcia, Gustavo A.; Munoz, Heber; Tamariz, Joaquin

CS Fac. Quim., Univ. Nac. Auton. Mexico, Mexico City, 04510, Mex.

SO Synthetic Communications (1983), 13(7), 569-74

CODEN: SYNCAV; ISSN: 0039-7911

DT Journal

LA English

OS CASREACT 99:105068

GI

AB Protected cyanohydrins RCH(OCHMeOEt)CN (R = Pr, Me, MeCH:CH, Ph) reacted with alkylene and styrene epoxides to yield dihydrofuranones I (R1 = Me, H, Ph). Thus, MeCH(OCHMeOEt)CN (II) was treated with LiN(CHMe2)2 and propylene oxide to give I (R = R1 = Me). Benzofuranone derivative III was obtained from II and cyclohexene oxide.

L11 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1950:27322 CAPLUS

DN 44:27322

OREF 44:5317a-d

TI Stereoisomerism of  $\alpha$ -hydroxy- $\beta$ -alkyl- $\gamma$ -lactones

AU Fleck, F.; Schinz, H.

CS Eidg. Tech. Hochschule, Zurich, Switz.

SO Helvetica Chimica Acta (1950), 33, 140-5

CODEN: HCACAV; ISSN: 0018-019X

DT Journal

LA German

OS CASREACT 44:27322

AB  $\alpha$ -Keto- $\beta$ -methyl- $\gamma$ -butyrolactone, through its enol form, hydrogenates in acid, neutral, or basic media to give only one  $\alpha$ -hydroxy- $\beta$ -methyl- $\gamma$ -lactone (I) [benzoate, m.

82°; p-toluenesulfonate (II), m. 130-1°], d420 1.223, nD20

1.463. When the Na derivative of I is heated 14 hrs. in Me2C6H4 and a N atmospheric

at 144-8° and acidified, distillation gives the isomeric cis-lactone (III), b0.04 68-70°, d420 1.1940, nD20 1.4593, M RD calculated 26.19, found 26.59, forms no benzoate or p-toluenesulfonate. I is thus the trans compound As a by-product, 10% Me2CHCH(OH)CO2H, m. 79-81°, is formed. II refluxed 7 hrs. with NaOAc, HOAc, and Ac2O gives 70% of the cis-acetate

heating IV with EtOH and PhSO3H gives only III. I and C5H5N with SOCl2 give 91%  $\alpha$ -chloro- $\beta$ -methyl- $\gamma$ -butyrolactone (V), viscous oil, b0-01 63-4°, d421 1.2420, nD21 1.4650, M RD calculated 29.61, found 29.95. With NaOH this gives a small amount of an acid m. 70-2° and an equal mixture of I and III. V and Ag2O give a similar mixture V can be obtained from III. In a 0.5-hr. alcoholysis of the 2 acetates. 15% IV and 20% of the trans compound react. => s alpha hydroxy gamma ketoacid ester 1706748 ALPHA 2487 ALPHAS 1706856 ALPHA (ALPHA OR ALPHAS) 459502 HYDROXY 11 HYDROXIES 459513 HYDROXY (HYDROXY OR HYDROXIES) 860107 GAMMA 4918 GAMMAS 860288 GAMMA (GAMMA OR GAMMAS) 952 KETOACID 437 KETOACIDS 1240 KETOACID (KETOACID OR KETOACIDS) 605403 ESTER 444892 ESTERS 839979 ESTER (ESTER OR ESTERS) L12 1 ALPHA HYDROXY GAMMA KETOACID ESTER (ALPHA (W) HYDROXY (W) GAMMA (W) KETOACID (W) ESTER) => d L12 1 bib abs L12 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN AN 2005:696857 CAPLUS DN 143:193722 TI Process for the preparation of optically active  $\alpha$ -hydroxy- $\gamma$ keto acid ester and hydroxydiketone via enantioselective nucleophilic addition of enamide Kobayashi, Shu IN Japan Science and Technology Agency, Japan PA SO PCT Int. Appl., 46 pp. CODEN: PIXXD2 DT Patent LA Japanese FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE ----\_\_\_\_\_ ----------WO 2005070864 A1 20050804 WO 2005-JP1281 20050124 ΡI W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,

MR, NE, SN, TD, TG

(IV), d420 1.1773, nD20 1.4456, M RD calculated 35.63, found 35.76, which on saponification by NaOH gives a mixture of about equal parts of I and III.

However,

	EP 1707	556	A1	20061004	EP	2005-704278	20050124
	R:	DE, FR, GB					
	US 2007	073087	A1	20070329	US	2006-587078	20061020
PRAI	JP 2004	-16408	A	20040123			
	JP 2004	-249251	Α	20040827			
	WO 2005	-JP1281	W	20050124			•

A process of enantioselective nucleophilic addition reaction to carbonyl, AB which enables asym. synthesis of optically active  $\alpha$ -hydroxy- $\gamma$ keto acid esters, optically active  $\alpha$ -hydroxy- $\gamma$ -amino acid esters, hydroxydiketone compds., etc. was provided. In this method, the nucleophilic addition reaction of enamide compound accompanied by hydroxyl (-OH) formation to carbonyl was carried out in the presence of a chiral catalyst with copper or nickel. For example, a mixture of (1R, 2R) -N, N'-bis[(4-bromophenyl)methylene]-1,2-cyclohexanediamine (9.9 mg),  $CuClO4 \cdot 4CH3CN$  (6.5 mg) in CH2Cl2 (1.5 mL) was stirred for 8 h. The resulting mixture was then treated with Et glyoxalate (100  $\mu L$ , 0.40 mmol)/CH2Cl2 (0.8 mL) and (1-phenylethenyl)carbamic acid phenylmethyl ester (0.20 mmol)/CH2Cl2 (0.8 mL) at 0 °C for 1 h. Aqueous work-up followed by subsequent reaction with 48% HBr (0.3 mL) for 1.5 min. and silica-gel purification afforded (2S)-2-hydroxy-4-oxo-4-phenylbutyric acid Et ester in 97% ee, 93% yield.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

---Logging off of STN---

Executing the logoff script...

=> LOG Y

=>

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 98.33 271.09 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -14.82 -14.82

STN INTERNATIONAL LOGOFF AT 10:52:47 ON 28 AUG 2007

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssptalxn1621

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

NEWS 1 Web Page for STN Seminar Schedule - N. America

```
NEWS 2 MAY 01 New CAS web site launched
NEWS 3 MAY 08
                   CA/Caplus Indian patent publication number format defined
NEWS 4 MAY 14 RDISCLOSURE on STN Easy enhanced with new search and display
                    fields
NEWS 5 MAY 21 BIOSIS reloaded and enhanced with archival data
NEWS 6 MAY 21
                    TOXCENTER enhanced with BIOSIS reload
NEWS 7 MAY 21 CA/CAplus enhanced with additional kind codes for German
                    patents
NEWS 8 MAY 22 CA/CAplus enhanced with IPC reclassification in Japanese
                    patents
NEWS 9
          JUN 27
                    CA/CAplus enhanced with pre-1967 CAS Registry Numbers
NEWS 10
          JUN 29
                    STN Viewer now available
NEWS 11
          JUN 29
                    STN Express, Version 8.2, now available
NEWS 12
          JUL 02
                    LEMBASE coverage updated
NEWS 13 JUL 02 LMEDLINE coverage updated

NEWS 14 JUL 02 SCISEARCH enhanced with complete author names

NEWS 15 JUL 02 CHEMCATS accession numbers revised

CA/CAplus enhanced with utility model patents
NEWS 16 JUL 02 CA/CAplus enhanced with utility model patents from China NEWS 17 JUL 16 CAplus enhanced with French and German abstracts
NEWS 18 JUL 18 CA/CAplus patent coverage enhanced
NEWS 19 JUL 26 USPATFULL/USPAT2 enhanced with IPC reclassification
NEWS 20 JUL 30 USGENE now available on STN
NEWS 21 AUG 06 CAS REGISTRY enhanced with new experimental property tags
NEWS 22 AUG 06 BEILSTEIN updated with new compounds
NEWS 23 AUG 06 FSTA enhanced with new thesaurus edition
NEWS 24 AUG 13 CA/CAplus enhanced with additional kind codes for granted
                    patents
NEWS 25 AUG 20
                    CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS 26 AUG 27
                    Full-text patent databases enhanced with predefined
                    patent family display formats from INPADOCDB
NEWS 27 AUG 27
                    USPATOLD now available on STN
NEWS 28 AUG 28 CAS REGISTRY enhanced with additional experimental
                    spectral property data
NEWS EXPRESS
                29 JUNE 2007: CURRENT WINDOWS VERSION IS V8.2,
```

CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 05 JULY 2007.

NEWS HOURS STN Operating Hours Plus Help Desk Availability NEWS LOGIN Welcome Banner and News Items NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 11:09:36 ON 28 AUG 2007

=> file caplus COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FILE 'CAPLUS' ENTERED AT 11:09:44 ON 28 AUG 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 28 Aug 2007 VOL 147 ISS 10 FILE LAST UPDATED: 27 Aug 2007 (20070827/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s enamide

1070 ENAMIDE

762 ENAMIDES

L1 1367 ENAMIDE

(ENAMIDE OR ENAMIDES)

=> s reactions

L2 2214584 REACTIONS

=> s L1 and L2

L3 703 L1 AND L2

=> s chiral catalyst

117772 CHIRAL

16 CHIRALS

117776 CHIRAL

(CHIRAL OR CHIRALS)

773474 CATALYST

771016 CATALYSTS

988746 CATALYST

(CATALYST OR CATALYSTS)

L4 1957 CHIRAL CATALYST

(CHIRAL (W) CATALYST)

=> s carbonyl compounds

176243 CARBONYL

27744 CARBONYLS

184547 CARBONYL

(CARBONYL OR CARBONYLS)

878237 COMPOUNDS

3 COMPOUNDSES

878240 COMPOUNDS

(COMPOUNDS OR COMPOUNDSES)

1752844 COMPDS

2212976 COMPOUNDS

(COMPOUNDS OR COMPDS)

L5 30390 CARBONYL COMPOUNDS

(CARBONYL (W) COMPOUNDS)

=> s L1 (w) L5

L6 0 L1 (W) L5

=> s L1 and L5

L7 13 L1 AND L5

=> s L7 and L4

### => d L7 1-13 bib abs

L7 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:890523 CAPLUS

DN 143:211720

TI Preparation of  $\alpha$ -aryl carbonyl compounds from aryl fluorides and enolates or enamides

IN Nakamura, Eiichi; Nakamura, Masaharu; Miyazaki, Masahiro

PA Japan Science and Technology Agency, Japan

SO Jpn. Kokai Tokkyo Koho, 25 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 2005225846	A	20050825	JP 2004-39078	20040216
PRA	I JP 2004-39078		20040216		
os	CASREACT 143:211720	; MARPA	T 143:211720		
GΙ					

$$2Li+ \begin{bmatrix}
R^{1} \\
R^{2}-C \\
C-N \\
R^{3}
X^{1}
Z^{1}
Z^{2}
\end{bmatrix}^{2}-$$

AB ACR1R2COR3 [R1, R2 = H, (un)substituted C1-20 hydrocarbyl; R3 = (un)substituted C1-20 hydrocarbyl, (un)substituted C1-20 alkoxy, (un)substituted amino; R2R3 may form ring; A = aromatic group] are prepared by reaction of R1R2C:CR3OLi (R1-R3 = same as above) with AF (A = same as above) in the presence of organolithium reagents, or reaction of AF with R1R2C:CR3NLiCH2CH2NLiR4 or enamides I [R1-R3 = same as above; R4 = (un)substituted C1-20 hydrocarbyl; Z1, Z2 = C1-6 alkyl]. Carbonyl compds. are prepared without using toxic heavy metal catalysts. Cyclohexanone was treated with Li 2,2,6,6-tetramethylpiperidide in THF at 0° for 1 h and treated with PhF at 0° for 3 h to give 62% 2-phenylcyclohexanone.

- L7 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2004:15274 CAPLUS
- DN 140:163846
- TI New access to chiral cyclic  $\omega$ -oxygenated  $\beta$ -enamino esters by intramolecular aminocyclisation reactions

Ι

- AU David, Olivier; Vanucci-bacque, Corinne; Fargeau-bellassoued, Marie-claude; Lhommet, Gerard
- CS Laboratoire de Chimie des Heterocycles, Universite P. et M. Curie, UMR 7611, Paris, F-75252, Fr.
- SO Heterocycles (2004), 62, 839-846 CODEN: HTCYAM; ISSN: 0385-5414
- PB Japan Institute of Heterocyclic Chemistry
- DT Journal
- LA English
- OS CASREACT 140:163846

GI

AB Nonracemic cyclic enamides I (R = H, Me, Me3C, Ph; R1 = H, Me) are prepared stereoselectively; I are unstable to either acidic conditions or silica gel. Imines generated from carbonyl compds.

and (S)-1-phenylethylamine undergo cyclocondensation reactions with Me 4-hydroxy-2-butynoate to yield I. Attempted preparation of homologs of I using Me 5-hydroxy-2-pentynoate and Me 6-hydroxy-2-hexynoate gives only complex and intractable mixts. Nonracemic cyclic carbamates II (n = 1, 3) are prepared by condensation of Me chlorocarbonate with Me 4-hydroxy-2-butynoate or Me 6-hydroxy-2-hexynoate to give carbonate esters which undergo stereoselective cyclocondensation with (S)-1-phenylethylamine. Attempted preparation of II (n = 2) from Me 5-hydroxy-2-pentynoate, (S)-1-phenylethylamine, and Me chlorocarbonate gives instead the nonracemic enamide (E)-H2C:CHCR2:CHCO2Me [R2 = (S)-1-phenylethyl] as a 9:1 mixture of E and Z isomers.

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:971555 CAPLUS

DN 140:181381

TI Catalytic asymmetric epoxidation of  $\alpha,\beta$ -unsaturated carboxylic acid imidazolides and amides by lanthanide-BINOL complexes

AU Ohshima, Takashi; Nemoto, Tetsuhiro; Tosaki, Shin-ya; Kakei, Hiroyuki; Gnanadesikan, Vijay; Shibasaki, Masakatsu

CS Graduate School of Pharmaceutical Sciences, The University of Tokyo, Hongo, Bunkyo-ku, Tokyo, 113-0033, Japan

SO Tetrahedron (2003), 59(52), 10485-10497 CODEN: TETRAB; ISSN: 0040-4020

PB Elsevier Science B.V.

DT Journal

LA English

GI

OS CASREACT 140:181381

AB Highly enantioselective catalytic asym. epoxidn. of  $\alpha,\beta$ -unsatd. carboxylic acid imidazolides, e.g., I, and simple amides was developed. In the presence of a catalytic amount of lanthanide-BINOL complexes, the reaction proceeded smoothly with high substrate generality. In the cases of  $\alpha,\beta$ -unsatd. amides, there was nearly perfect enantioselectivity. The corresponding epoxides were successfully transformed into many types of useful chiral compds. such as

 $\alpha,\beta\text{-epoxy}$  esters,  $\alpha,\beta\text{-epoxy}$  amides,  $\alpha,\beta\text{-epoxy}$  aldehydes,  $\alpha,\beta\text{-epoxy}$   $\beta\text{-keto}$  ester, and  $\alpha\text{-}$  and  $\beta\text{-hydroxy}$  carbonyl compds. B3LYP d. functional studies were performed to predict substrate reactivity.

RE.CNT 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L7 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2003:561398 CAPLUS
- DN 140:199402
- TI New stannyl enamides
- AU Naud, Sebastien; Cintrat, Jean-Christophe
- CS CEA/Saclay, Service de Marquage Moleculaire et Chimie Bio-organique, Bat. 547, Departement de Biologie Joliot-Curie, Gif sur Yvette, 91191, Fr.
- SO Synthesis (2003), (9), 1391-1397 CODEN: SYNTBF; ISSN: 0039-7881
- PB Georg Thieme Verlag
- DT Journal
- LA English
- OS CASREACT 140:199402

GI

$$RC \equiv C \longrightarrow 0 \qquad Bu_3Sn \longrightarrow 0 \qquad III$$

$$Bu_3Sn \longrightarrow 0 \qquad CH_2 \longrightarrow 0 \qquad III$$

$$CH_2 \longrightarrow 0 \qquad V$$

AB Stannyl enamines derived from N-(ethynyl)oxazolidin-2-ones were obtained. The authors describe the preparation of N-(ethynyl)oxazolidin-2-ones using phenyl[(trimethylsilyl)ethynyl]iodonium triflate (I). Initially the authors showed that hydrostannylation of HC.tplbond.CN(CH2Ph)(COPh) with Bu3SnH in presence of Pd(PPh3)4 in THF when warmed to 60° gave Bu3Sn[(PhCO)(PhCH2)N]C:CH2 in 80% yield regioselectively. The authors then synthesized 3-(alkynyl)oxazolidinones from I by N-(trimethylsilyl)ethynylation of oxazolidin-2-ones. E.g., 1,3-oxazolidin-2-one sequentially reacted with KHMDS (0°) and I in toluene (room temperature) to give the 3-(alkynyl)oxazolidinone 4a (shown as II,

R = Me3Si) in 48% yield. 4A was then desilylated by TBAF/H2O to give 5a (shown as II, R = H) in 90% yield. The resulting N-(ethynyl)oxazolidin-2-one 5a was hydrostannylated with Bu3SnH to give stannyl enamides  $6\alpha$  (55% yield) and  $6\beta$  in a ratio of 70:30 (shown as III and IV, resp.). The 1st results of transmetalation and quenching expts. with prochiral carbonyl derivs. to give  $\beta$ -amino alcs. are also described. E.g.,  $6\alpha$  (III) was transmetalated with BuLi and the in situ  $\alpha$ -lithiated product was then trapped with electrophiles, H2O, hexanal, and iso-Pr Me ketone, to give N-(vinyl)oxazolidin-2-ones (V; E = H, CH(OH)(CH2)4Me, CH(OH)(Me)Pr-i) in yields 72, 47, and 28%, resp. The same expts. were conducted starting from an enantiomerically pure stannylated compound using identical prochiral carbonyl derivs. The authors showed that moving to a chiral 4-substituted oxazolidinone resulted in almost no change of the chemical yield either with an aldehyde or a ketone, and no diastereoselectivity could be seen in the 1H NMR spectrum anal. of

the crude reaction mixture

#### RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L7 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
- 2002:444539 CAPLUS AN
- DN 137:33079
- TT Process for preparation of  $\alpha$ -hydroxy amides and related  $\alpha$ -hydroxy carbonyl compounds by, e.g., condensation of carbonyl compounds, (silyloxy)propanedinitriles, and amines
- Nemoto, Hisao IN
- PA Eisai Co., Ltd., Japan
- SO U.S., 34 pp.

CODEN: USXXAM

DT Patent

English LΑ

FAN. CNT 1

1241.	U111 1					
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 6403818	B1	20020611	US 2001-794140	20010228	
PRAI	US 2000-185399P	P	20000228			
os	CASREACT 137:33079;	MARPAT	137:33079			
GI						

A novel process is disclosed for the one-pot preparation of  $\alpha\textsc{-hydroxy}$ AB carbonyl compds. (mostly  $\alpha$ -hydroxy amides) of formula I and their derivs. via the condensation of II and III in the presence of R3-YH [wherein: Y = O, S, NR6 (R6 = H, OH, alkyl, alkoxy, cycloalkyl, alkenyl, alkynyl, or (un)substituted 5- to 12-membered heteroaryl group, etc.); R1, R2 independently = H, alkyl, alkoxy, cycloalkyl, bicycloalkyl, alkenyl, alkynyl, heteroaryl or (un) substituted 5- to 12-membered heteroaryl group, etc.; R3 = H, OH, alkyl, alkoxy, cycloalkyl, alkenyl, alkynyl, aryl, (un) substituted 5 to 12-membered heteroaryl group, etc.; R4 = H, substituted silyl protecting group (preferably -SiMe3, -SiMe2tBu or SiPh2tBu), alkanoyl, alkenoyl, alkynoylaryloyl, heteroaryloyl, etc.; R5 = substituted silyl protecting group (preferably -TMS, -TBDMS or -TBDPS), alkanoyl, alkenoyl, alkynoyl, aryloyl, heteroaryloyl, etc.]. A key intermediate in the proposed process is the corresponding acyl cyanide, generated in situ from condensation of II and III. For example, to a stirred solution of 4-methylbenzaldehyde (1.0 mmol) and dinitrile III (R4 = tert-butyldimethylsilyl, 1.2 mmol) in acetonitrile (3 mL) at 0° was added n-butylamine (1.1 mmol) in one portion. After 5 min, a solution of tetrabutylammonium fluoride in THF (1.5 mmol) was added dropwise and the reaction stirred at 0° for an addnl. 20 min. The solution was concentrated and purified via silica gel column

chromatog. to provide hydroxyacetamide IV as colorless powder in 94% yield. Approx. 75 specific examples of I were prepared The invention is proposed to be useful for the production of statine analogs. The invention process gives products similar to the Passerini reaction, but uses amines

instead of isocyanides, and also gives higher yields.

RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L7 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2002:101777 CAPLUS
- DN 136:294687
- TI A New Method for the Preparation of 2-Thio Substituted Furans by Methylsulfanylation of  $\gamma$ -Dithiane Carbonyl Compounds
- AU Padwa, Albert; Eidell, Cheryl K.; Ginn, John D.; McClure, Michael S.
- CS Department of Chemistry, Emory University, Atlanta, GA, 30322, USA
- SO Journal of Organic Chemistry (2002), 67(5), 1595-1606 CODEN: JOCEAH; ISSN: 0022-3263
- PB American Chemical Society
- DT Journal
- LA English
- OS CASREACT 136:294687
- Several related methods for the preparation of differentially substituted AB 2-thiofurans are described. The general procedure involves the formation of a thionium ion from a  $\gamma$ -dithianyl substituted carbonyl compound followed by cyclization of this reactive intermediate onto the tethered carbonyl group. Two methods for thionium ion generation were explored. One of these involved an acid-catalyzed reaction of  $\beta$ -ketene dithioacetals, prepared from the condensation of 2,2bis(methylsulfanyl)acetaldehyde with a variety of ketones. Cyclization followed by loss of methanethiol gave 2-thiofurans in 70-90% yield. Attempts to prepare 5-heteroatom substituted 2-thiofurans from the corresponding  $\beta\text{-ketene}$  dithioacetal amides or esters were unsuccessful, leading to 1,2-thio rearranged products. A more successful route involved the reaction of  $\beta$ -acetoxy- $\gamma$ -thianyl carbonyl compds. with dimethyl (methylthio) sulfonium tetrafluoroborate. Treatment of the dithiane with this reagent resulted in the smooth generation of a thionium ion. Cyclization followed by loss of acetic acid afforded thiofurans in 40-100% yield. N-(2-Methylsulfanyl-5,6-dihydro-4H-furo[2,3-b]pyridine)but-3-enamide furnished a rearranged hexahydropyrroloquinolin-2-one in high yield when heated at 110 °C.
- RE.CNT 80 THERE ARE 80 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L7 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2000:438393 CAPLUS
- DN 133:163815
- TI Generalization of the aminopentadienal rearrangement
- AU Bacilieri, Christian; Reic, Stefanie; Neuenschwander, Markus
- CS Departement fur Chemie und Biochemie der Universitat Bern, Bern, CH-3012, Switz.
- SO Helvetica Chimica Acta (2000), 83(6), 1182-1190 CODEN: HCACAV; ISSN: 0018-019X
- PB Verlag Helvetica Chimica Acta
- DT Journal
- LA German
- AB Contrary to the rearrangement of 3-amino-3-X-prop-2-enals (X = Cl, OAc), which easily give 3-X-prop-2-enamides at low temperature, the postulated rearrangement of the vinylogous 5-amino-5-X-penta-2,4-dienals (I) normally stops at the level of 2-aminopyrylium salts (II). The main reason is that the charge in salts of type II is highly delocalized, leading to low-energy species, which make addition of weak nucleophiles difficult. Two concepts for increasing the chances of the aminopentadienal rearrangement I →→ RR1NCOCH:CHCH:CHX are presented and substantiated by typical expts. On one side, the easily available 2-aminopyrylium chlorides II (X = Cl) are reacted with a 2-fold excess of secondary amines to give 5-(dialkylamino)penta-2,4-dienamides. On the other hand, after replacing the amino groups of I by PhO and EtO

groups, the corresponding 5-chloro-5-phenoxy- and 5-chloro-5-ethoxypenta-2,4-dienals easily rearrange at low temperature to give 5-chloropenta-2,4-diene-

1-carboxylates which are now obviously lower in energy than the corresponding pyrylium-salt intermediates.

- RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L7 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 2000:42199 CAPLUS
- DN 132:222426
- TI The synthesis and functionalization of quinuclidine enamine N-oxide and borane complex
- AU O'Neil, Ian A.; Wynn, Duncan; Lai, Justine Y. Q.
- CS Department of Chemistry, University of Liverpool, Liverpool, L69 7ZD, UK
- SO Tetrahedron Letters (1999), Volume Date 2000, 41(2), 271-274 CODEN: TELEAY; ISSN: 0040-4039
- PB Elsevier Science Ltd.
- DT Journal
- LA English
- OS CASREACT 132:222426
- GI
- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- AB The synthesis of quinuclidine enamine N-oxide and quinuclidine enamine borane complex is described. Selective deprotonation of the double bond with Me3CLi allows direct functionalization at the α-position with a range of electrophiles. E.g., treatment of 3-hydroxyquinuclidine with tosyl chloride in the presence of Et3N gives 3-quinuclidinol tosylate (I) in 83-90% yield; treatment of I with m-chloroperbenzoic acid gives the quinuclidinol tosylate N-oxide II in 76% yield which undergoes elimination with Me3COK in THF to give the enamide N-oxide III in 80% yield. E.g., treatment of I with borane gives the N-trihydridoborane quinuclidinol tosylate IV in 100% yield; IV undergoes elimination with Me3COK in THF to give the enamide N-borane complex V in 52% yield. E.g., treatment of III with Me3CLi in THF at -45° followed by addition of 9-fluorenone gives the quinuclidine VI regioselectively in 85% yield.
- RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L7 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 1996:644873 CAPLUS
- DN 125:328081
- TI Samarium(II) iodide-mediated intermolecular coupling reactions of N,N-dibenzylenamides with carbonyl compounds and transformation of the product, N,N-dibenzyl- $\gamma$ -hydroxyamide to  $\delta$ -amino alcohol
- AU Aoyagi, Yutaka; Maeda, Mikiko; Moro, Akira; Kubota, Ken; Fujii, Yohko; Fikaya, Haruhiko; Ohta, Akihiro
- CS School Pharmacy, Tokyo Univ. Pharmacy Life Science, Tokyo, 192-03, Japan
- SO Chemical & Pharmaceutical Bulletin (1996), 44(10), 1812-1818 CODEN: CPBTAL; ISSN: 0009-2363
- PB Pharmaceutical Society of Japan
- DT Journal
- LA English
- OS CASREACT 125:328081
- AB Samarium(II) iodide-mediated intermol. coupling reactions of N,N-dibenzylenamides, e.g. (PhCH2)2NCOCH:CH2, with carbonyl compds., e.g. benzophenone, produced the corresponding N,N-dibenzyl-γ-hydroxyamides, e.g. (PhCH2)2NCOCH2CH2CPh2OH, in

moderate to good yields. In one case, the  $\delta$ -amino alc. was prepared from the  $\gamma$ -hydroxy amide.

- L7 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 1992:531040 CAPLUS
- DN 117:131040
- TI Fluoride ion mediated Peterson alkenylation of N-[C,C-bis(trimethylsilyl)methyl]amido derivatives with carbonyl compounds: a short general route to enamides and 1,2-dihydroisoquinolines
- AU Palomo, Claudio; Aizpurua, Jesus M.; Legido, Marta; Picard, Jean Paul; Dunogues, Jacques; Constantieux, Thierry
- CS Fac. Quim., Univ. Pais Vasco, San Sebastian, 20080, Spain
- SO Tetrahedron Letters (1992), 33(27), 3903-6 CODEN: TELEAY; ISSN: 0040-4039
- DT Journal
- LA English
- OS CASREACT 117:131040
- AB A straightforward general access to diversely substituted acyclic or cyclic enamides and dienamides is accomplished by using a fluoride induced Peterson olefination of carbonyl compds . and enolizable amides derived from C,C-bis(trimethylsily)methylamine. Thus, MeCON(CH2Ph)CH(SiMe3)2 was treated with 4-MeC6H4CHO in THF containing tetrabutylammonium fluoride to give 60% MeCON(CH2Ph)CH:CHC6H4Me-4.
- L7 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
- AN 1988:204862 CAPLUS
- DN 108:204862
- TI Synthesis of eburnamonine and dehydroaspidospermidine
- AU Wenkert, Ernest; Hudlicky, Tomas
- CS Dep. Chem., Rice Univ., Houston, TX, 77001, USA
- SO Journal of Organic Chemistry (1988), 53(9), 1953-7 CODEN: JOCEAH; ISSN: 0022-3263
- DT Journal
- LA English
- OS CASREACT 108:204862

GI

The route of synthesis of  $\gamma$ -imino carbonyl compds ., e.g. I, by cyclopropanation of enamides and acid-catalyzed ring opening of the resultant  $\beta$ -amido cyclopropanecarboxylates II has been applied to the preparation of substituted 1-piperideines and therefrom to the synthesis of the alkaloids eburnamonine (III), dehydroaspidospermidine, and aspidospermidine (IV).

```
L7 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
```

AN 1981:406751 CAPLUS

DN 95:6751

TI The boron fluoride-catalyzed decomposition of diazo carbonyl compounds in nitriles. Formation of enamides

AU Ibata, Toshikazu; Yamamoto, Masahiko

CS Coll. Gen. Educ., Osaka Univ., Osaka, 560, Japan

SO Chemistry Letters (1981), (2), 161-4 CODEN: CMLTAG; ISSN: 0366-7022

DT Journal

LA English

OS CASREACT 95:6751

GI

AB The BF3-catalyzed decomposition of  $\alpha$ -diazoacetophenones in MeCN, EtCN, or MeSCN in the presence of 1,3,5-trimethoxybenzene produced enamides (I; R = H, Me, MeO, Cl, Br) in 61-98% yield.

L7 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1980:6198 CAPLUS

DN 92:6198

TI Enamides in organic synthesis. Part 3. A new acetaldehyde anion equivalent

AU Bielawski, Jacek; Brandaenge, Svante; Lindblom, Lars; Ramanvongse, Sunanta

CS Dep. Org. Chem., Univ. Stockholm, Stockholm, S-106 91, Swed.

SO Acta Chemica Scandinavica, Series B: Organic Chemistry and Biochemistry (1979), B33(6), 462-4
CODEN: ACBOCV; ISSN: 0302-4369

DT Journal

LA English

AB Reaction of 1-vinyl-2-pyrrolidinone with PhLi at -10 to -15° gave Li 2-phenyl-1-vinyl-2-pyrrolidinolate (I), which reacted with saturated aldehydes or ketones or  $\alpha$ -ethylenic ketones to give, upon hydrolysis, ethylenic or  $\delta$ -oxo aldehydes, resp. The reactions proceed via an AcH anion equivalent, which condenses with saturated carbonyl compds. or adds to ethylenic ketones. For example, I was treated with with hexanal to give 27% (E)-2-octenal, whereas the 2-Bu analog of I reacted with chalcone to give 66% PhCOCH2CHPhCH2CHO.

=>

---Logging off of STN---

=>

Executing the logoff script...

### => LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	50.26	50.47
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-10.14	-10.14

STN INTERNATIONAL LOGOFF AT 11:11:26 ON 28 AUG 2007